



Form PTO-1449		U.S. Department of Commerce Patent and Trademark Office		Atty. Docket No. 60390-AZ-PCT-US/JPW/GJG/ML		Serial No. 10/816,329						
INFORMATION DISCLOSURE CITATION (Use several sheets if necessary)				Applicants: Arlindo Castelhana et al.		Filing Date March 31, 2004						
U.S. PATENT DOCUMENTS												
Examiner Initial		Document Number						Date	Name	Class	Subclass	Filing Date if Appropriate
/SM/	1	5	8	8	9	0	2	6	3/30/99	Alanine et al.		
/SM/	2	6	1	1	7	8	7	8	9/12/00	Linden		
/SM/	3	6	4	6	5	4	5	6	10/15/02	Springer et al.		
/SM/	4	6	6	8	0	3	2	2	1/20/04	Castelhano et al.		
/SM/	5	6	9	1	6	8	0	4	7/12/05	Castelhano et al.		
/SM/	6	7	2	0	2	2	5	2	4/10/07	Wilson et al.		
/SM/	7	20	03	01	39	4	2	7	11/1/05	Castelhano et al.		
/SM/	8	20	03	02	29	0	6	7	12/11/03	Castelhano et al.		
/SM/	9	20	04	00	82	5	9	8	4/29/04	Castelhano et al.		
/SM/	10	20	04	00	82	5	9	9	4/29/04	Castelhano et al.		
/SM/	11	20	05	01	19	2	7	1	6/2/05	Castelhano et al.		
/SM/	12	10	0	1	0	0	9	2	11/30/01	Castelhano et al.		
FOREIGN PATENT DOCUMENTS												
		Document Number						Date	Country	Class	Subclass	Translation Yes No
/SM/	13	12	4	6	6	2	3	B1	8/9/06	EPO		
/SM/	19	20	01	03	9	7	7	7	6/7/01	PCT		
/SM/	20	20	02	05	7	2	6	7	7/25/02	PCT		
/SM/	21	20	03	04	8	1	2	0	6/12/03	PCT		
/SM/	22	20	03	05	3	3	6	1	7/3/03	PCT		
/SM/	23	20	03	05	3	3	6	6	7/3/03	PCT		
/SM/	24	9	7	4	7	6	0	1	12/18/97	PCT		
/SM/	25	9	9	6	4	4	0	7	12/16/99	PCT		
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)												
	14	Hungarian Patent No. HU P0303545 (corresponds to WO 94/13070)										
	15	Hungarian Patent No. HU P0501230 (corresponds to WO 95/19970)										
	16	Hungarian Patent No. HU P0602012 (corresponds to WO 95/19970)										
	17	Hungarian Patent No. HU P0403830 (corresponds to WO 03/20070)										
	18	Hungarian Patent No. HU P0602012 (corresponds to WO 95/19970)										
/SM/	26	International Search Report issued in PCT International Application No. PCT/US2001/045280, filed November 30, 2001										
/SM/	27	International Search Report issued in PCT International Application No. PCT/US2002/38055, filed November 27, 2002										
/SM/	28	International Search Report issued in PCT International Application No. PCT/US2002/40890, filed December 20, 2002										
/SM/	29	International Search Report issued in PCT International Application No. PCT/US2002/41273, filed December 20, 2002										
/SM/	30	PCT International Preliminary Examination Report issued in PCT International Application No. PCT/US2001/045280, filed November 30, 2001										
/SM/	31	PCT International Preliminary Examination Report issued in PCT International Application No. PCT/US2002/38055, filed November 27, 2002										
/SM/	32	PCT International Preliminary Examination Report issued in PCT International Application No. PCT/US2002/40890, filed December 20, 2002										
/SM/	33	PCT International Preliminary Examination Report issued in PCT International Application No. PCT/US2002/41273, filed December 20, 2002										
EXAMINER		/Susanna Moore/		DATE CONSIDERED		04/09/2008						
*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.												

*Note: This reference is not in English, thus only structures were considered.

Applicants: Arlindo Castelhana et al.
Serial No.: 10/816,329
Filed: March 31, 2004
Exhibit A

Form PTO-1449		U.S. Department of Commerce Patent and Trademark Office			Atty. Docket No. 60390-AZ-PCT-US/JPW/GJG/ML		Serial No. 10/816,329	
INFORMATION DISCLOSURE CITATION (Use several sheets if necessary)					Applicants: Arlindo Castelhana et al.			
					Filing Date March 31, 2004		Group	
U.S. PATENT DOCUMENTS								
Examiner Initial		Document Number	Date	Name	Class	Subclass	Filing Date if Appropriate	
FOREIGN PATENT DOCUMENTS								
		Document Number	Date	Country	Class	Subclass	Translation	
							Yes	No
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)								
/SM/	34	Partial European Search Report; for EP Application No. 01 99 7029; completed 12/21/2004						
/SM/	35	Partial European Search Report; for EP Application No. 06 01 6543.8; completed 10/4/2006						
/SM/	36	Supplemental European Search Report; for EP Application No. 02 80 5676; issued 2/7/2005						
/SM/	37	Baraldi, P.G. et al., (1999) "A1 and A3 adenosine receptor agonists: an overview." <i>Expert Opinion on Therapeutic Patents</i> , 9(5):515-527						
/SM/	38	Baraldi, P.G. (2003) "Recent developments in the field of A2A and A3 adenosine receptor antagonists" Eur. J. Med. Chem. 38(4) 367 , ABSTRACT						
	39	Baraldi, P.G. et al., (1999) "A1 and A3 adenosine receptor agonists: an overview." <i>Expert Opinion on Therapeutic Patents</i>, 9(5):515-527						
/SM/	40	Baraldi, P.G. et al., (2004) "Allosteric modulators for the A1 adenosine receptor." <i>Expert Opinion on Therapeutic Patents</i> , 14(1):71-79						
/SM/	41	Borman, S. (2001) "A3 Receptors" C&EN, 79(7), 37						
/SM/	42	Bremer et al. (2002) "Therapy of Crohn's Disease in Childhood", Expert Opin. Pharmacother. 3(7): 809-825						
/SM/	43	Christofi, F.L. et al. (2001), "Differential Gene Expression of Adenosine A1, A2a, A2b, and A3 Receptors in the Human Enteric Nervous System", J. Comp. Neurol. 439(1): 46-64						
/SM/	44	Corset, V. et al. (2000), "Netrin-1-mediated axon outgrowth and cAMP production requires interaction with adenosine A2b receptor", Nature, 407 (6805): 747-750						
/SM/	45	Dubey, R.K. et al. (2001), "A2B Receptors Mediate the Antimitogenic Effects of Adenosine in Cardiac Fibroblasts", Hypertension 37: 716-721						
/SM/	46	Faivre, K. et al., (2001) "Suppression of Cellular Invasion by Activated G-Protein Subunits Gao, Gai1, and Gai3 and Sequestration of Gβγ", Mol. Pharmacol. 60: 363-372						
/SM/	47	Feoktistov, I. and Biaggioni, I., (1997) "Adenosine A2B Receptors", Pharmacol. Rev. 49(4): 381-402						
/SM/	48	Feoktistov, I. et al., (2002) "Differential Expression of Adenosine Receptors in Human Endothelial Cells", Circulation Research 90: 531-538						
/SM/	49	Fishman P (2003) "Pharmacology and therapeutic applications of A3 receptor subtype" Curr. Top. Med. Chem. 3(4): 463-9						
/SM/	50	Gao, Z. et al., "A2B Adenosine and P2Y2 Receptors Stimulate Mitogen-activated Protein Kinase in Human Embryonic Kidney-293 Cells" J. Bio. Chem. (1999) 274(9): 5972-5980						
/SM/	51	Grant, M.B. et al., (2001) "Proliferation, Migration, and ERK Activation in Human Retinal Endothelial Cells through A2B Adenosine Receptor Stimulation", Invest. Ophthalmol. Vis. Sci. 42(9): 2068-2073						
/SM/	52	Guo, Y. et al., (2001) "Targeted deletion of A3 adenosine receptor confers resistance to myocardial ischemic injury and does not prevent early preconditioning." <i>J Mol Cell Cardiol</i> , 33:825-830						
/SM/	53	Haynes, J. Jr. et al., (1999) "5-(N-ethylcarboxamido) adenosine desensitizes the A2b-adenosine receptor in lung circulation", Am. J. Physiol. 276(6): H1877-H1883						
/SM/	54	Herndon, J.L. et al., (1992) Herndon, J.L. et al., "Ketanserin Analogs: Structure-Affinity Relationships for 5-HT2 and 5-HT1C Serotonin Receptor Binding", J. Med. Chem. 35(26): 4903-4910						
/SM/	55	Hoeschst India Ltd., India "Pharmacologically active pyrimido "[4,5-b]indoles and their salts." Database accession No. 106:84629 HCA XP002121648 , 1986						
EXAMINER		/Susanna Moore/		DATE CONSIDERED				
				04/09/2008				
*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.								

Form PTO-1449		U.S. Department of Commerce Patent and Trademark Office			Atty. Docket No. 60390-AZ-PCT-US/JPW/GJG/ML		Serial No. 10/816,329	
INFORMATION DISCLOSURE CITATION (Use several sheets if necessary)					Applicants: Arlindo Castelhana et al.			
					Filing Date March 31, 2004		Group	
U.S. PATENT DOCUMENTS								
Examiner Initial		Document Number	Date	Name	Class	Subclass	Filing Date if Appropriate	
FOREIGN PATENT DOCUMENTS								
		Document Number	Date	Country	Class	Subclass	Translation	
							Yes	No
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)								
	56	Kirichiro, K. et al., "Synthesis of pyrazinecarboxylic acid derivs. (H) derivs. of 3-aminopyrazinecarboxylic acid" Chem. Abstracts 56:8713						
/SM/	57	Kiritsy, J.A. et al., (1978) "Synthesis and Quantitative Structure-Activity Relationships of Some Antibacterial 3-Formylrifamycin SV N-(4-Substituted phenyl) piperazinoacethydrzones" J. Med. Chem. 21(12): 1301-1307						
/SM/	58	Klumpp, D.A. et al., (1999) "Synthesis of Aryl-Substituted Piperidines by Superacid Activation of Piperidones" J. Org. Chem. 64(18): 6702-6705						
/SM/	59	Linden, J. et al., (1998) "The Structure and Function of A1 and A2B Adenosine Receptors" Life Sciences 62(17-18): 1519-1524						
/SM/	60	Meade et al., PubMed Abstract (Life Sci. 69(11):1225-40) August 2001						
/SM/	61	Michell, C.H. (1999) "A3 adenosine receptors regulate Cl-channels of nonpigmented ciliary epithelial cells" Am. J. Physiol. 276: C659-C666						
/SM/	62	Mirabet, M. et al., (1999) "Expression of A2B adenosine receptors in human lymphocytes: their role in T cell activation" J. Cell. Sci. 112(4): 491-502						
	63	Mitchell, C.H. et al., "Adenosine A3 Receptor Activation Reduces Cell Volume and Activates Cl⁻ Current in Human Ciliary Epithelial Cells", FASEB J, A134 (Abstract only)						
/SM/	64	Müller, C.E. (2001) "A3 Adenosine Receptor Antagonists" Mini Reviews in Med. Chem. 1(4): 339						
/SM/	65	Müller, C.E. et al., "Imidazo [2,1-i] purin-5-ones and Related Tricyclic Water-Soluble Purine Derivatives: Potent A2A- and A3-Adenosine Receptor Antagonists", (2002) J. Med. Chem. 45(16): 3440-3450						
/SM/	66	Nagarathnam, D. et al., (1998) "Design and Synthesis of Novel α 1a Adrenoceptor-Selective Dihydropyridine Antagonists for the Treatment of Benign Prostatic Hyperplasia", J. Med. Chem. 41(26): 5320-5333						
/SM/	67	Nyce J.W. (1997) "DNA Antisense thrapy for asthma in an animal model" 385: 721. Walker (1997) Am. J. Respir. Cell Mol. Biol. 161: 531						
/SM/	68	Polosa (2002) "Adenosine-receptor subtypes: their relevance to adenosine-mediated responses in asthma and chronic obstructive pulmonary disease", Eur. Respir. Journal 20,488-496						
/SM/	69	Priego, E.-M. et al., "Pyrido [2,1-f]purine-2,4-dione Derivatives as a Novel Class of Highly Potent Human A3 Adenosine Receptor Antagonists", (2002) J. Med. Chem., 45(16): 3337-3344						
/SM/	70	Ralevic, V. And Burnstock, G., (1998) "Receptors for Purines and Pyrimidines", Pharmacol. Rev. 50(3): 413-492						
/SM/	71	Regnault, K. et al., (2002) "G-protein α olf subunit promotes cellular invasion, survival, and neuroendocrine differentiation in digestive and urogenital epithelial cells", Oncogene 21(25): 4020-4031						
/SM/	72	Robinson, "Medical Therapy of Inflammatory Bowel Disease for the 21st Century", Eur J Surg. Suppl 582:90-98, 1998						
/SM/	73	Simone, Oncology: Introduction Cecil Textbook of Medicine, 20th Edition, Vol. 1, pp. 1004-1010, 1996						
/SM/	74	Singh et al., "Immune therapy in inflammatory bowel disease and models of colitis", British Journal of Surgery, 88: 1558-1569, 2001						
/SM/	75	Tanaka, H. et al. "Preparation and formulation of fused pyrimidine compounds as CRF receptor antagonists." Database accession no. 129:109098 HCA XP002121647 , 1998						
EXAMINER				DATE CONSIDERED				
/Susanna Moore/				04/09/2008				
*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.								

Form PTO-1449		U.S. Department of Commerce Patent and Trademark Office		Atty. Docket No. 60390-AZ-PCT-US/JPW/GJG/ML		Serial No. 10/816,329	
INFORMATION DISCLOSURE CITATION (Use several sheets if necessary)				Applicants: Arlindo Castelhana et al.			
				Filing Date March 31, 2004		Group	
U.S. PATENT DOCUMENTS							
Examiner Initial		Document Number	Date	Name	Class	Subclass	Filing Date if Appropriate
FOREIGN PATENT DOCUMENTS							
		Document Number	Date	Country	Class	Subclass	Translation
							Yes No
OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)							
/SM/	76	Van Niel, M.B. et al., "Fluorination of 3-(3-(Piperidin-1-yl)propyl)indoles and 3-(3-(Piperazin-1-yl)propyl)indoles Gives Selective Human 5-HT ₂ Receptor Ligands with Improved Pharmacokinetic Profiles" <i>J. Med. Chem.</i> (1999) 42(12): 2087-2104					
/SM/	77	West, R.A. et al. (1961) "2-alkyl(aryl)-and 2,7-dimethyl-4-substituted aminopyrrolo[2,3-d]pyrimidines." <i>J. Org. Chem.</i> , 26:3809-3812					
	78	Yan, Luo et al. (2003) Expert Opinion on Emerging Drugs, vol. 8, no. 2 pp. 537-576					
EXAMINER /Susanna Moore/				DATE CONSIDERED 04/09/2008			
*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.							